(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau

ROC'S POST/PTO 14 JAN 2005

(43) International Publication Date 22 January 2004 (22.01.2004)

PCT

(10) International Publication Number WO 2004/007456 A1

(51) International Patent Classification7: A61K 31/44, A61P 3/06

C07D 213/30,

(74) Agent: LEK PHARMACEUTICALS D.D.; Alenka Kosak, Patent and Trademark Attorney, Intellectual Property Department, Verovskova 57, 1526 Ljubljana (SI).

(21) International Application Number:

PCT/SI2003/000021

(22) International Filing Date: 9 July 2003 (09.07.2003)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

SI P-200200177 17 July 2002 (17.07.2002) P-200200287 28 November 2002 (28.11.2002)

(71) Applicant (for all designated States except US): LEK PHARMACEUTICALS D.D. [SI/SI]; Verovskova 57, 1526 Ljubljana (SI).

(72) Inventors; and

(75) Inventors/Applicants (for US only): RODE, Breda [SI/SI]; Groharjeva 12, 1241 Kamnik (SI). ROZMAN, Damjana [SI/SI]; Pot v Cezelj 16, 1231 Ljubljana (SI). FON TACER, Klementina [SI/SI]; Vrazov trg 2, 1000 Ljubljana (SI). KOCJAN, Darko [SI/SI]; Maroltova 3, 1000 Ljubljana (SI).

- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

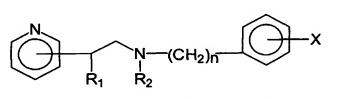
Published:

with international search report

VC, VN, YU, ZA, ZM, ZW.

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NOVEL DERIVATIVES OF PYRIDYLETHANOL (PHENYLETHYL) AMINES AS INHIBITORS OF CHOLES-TEROL BIOSYNTHESIS, PROCESSES FOR THEIR PREPARATION, AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM



The novel derivatives of (57) Abstract: (phenylethyl) amines pyridylethanol formula I are described wherein n is an integer from 1 to 4, R₁ is a hydrogen atom, hydroxyl group or lower C1-6 alkoxy group R2 is a hydrogen atom or a straight or branched lower C1-6 alkyl group X, is hydrogen, fluorine, chlorine,

2004/00/456 bromine, hydroxyl group, trifluoromethyl group, 3,4-di-CI,2,4-di-CI or lower C1-6 alkoxy group, the enantiomers, diastereoisomers or racemates thereof or the physiologically acceptable acid addition salts thereof which are ligands of sigma receptors for inhibiting cholesterol biosynthesis and are thus appropriate for the treatment of hypercholesterolemia and hyperlipemia in humans. The greatest lowering of cholesterol was observed by 1-(d-pyridyl)-2-(N-(2-(3,4-dicholorophenyl)ethyl-N-propylamino)ethanol in the form of dihydrobromide salt (signature BK-35. 2HBr).

I

